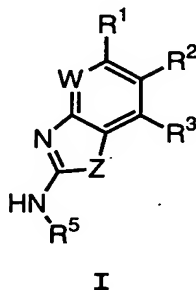


We claim:

1. A method of decreasing bacterial quantity in a biological sample comprising the step of contacting said biological sample with a compound of formula I:



or a pharmaceutically acceptable derivative or prodrug thereof, wherein:

Z is O or N-R<sup>4</sup>;

W is nitrogen or CR<sup>a</sup>;

R<sup>a</sup> is selected from hydrogen, halogen, -CF<sub>3</sub>, R<sup>7</sup>, -OR<sup>7</sup>, or -N(R<sup>7</sup>)<sub>2</sub>;

R<sup>1</sup> is an aryl or heteroaryl ring, wherein said ring is optionally substituted by up to four R<sup>9</sup>; wherein an R<sup>9</sup> substituent in the ortho-position of R<sup>1</sup> taken together with R<sup>2</sup> may form a fused, unsaturated or partially unsaturated, optionally substituted 5-8 membered ring having 0-2 ring heteroatoms selected from nitrogen, oxygen, or sulfur;

R<sup>2</sup> and R<sup>3</sup> are each independently selected from R<sup>6</sup>, halogen, CN, SR<sup>6</sup>, OR<sup>6</sup>, N(R<sup>6</sup>)<sub>2</sub>, NRCO<sub>2</sub>R<sup>6</sup>, NRCON(R<sup>6</sup>)<sub>2</sub>, CON(R<sup>6</sup>)<sub>2</sub>, NRCOR<sup>6</sup>, NRN(R<sup>6</sup>)<sub>2</sub>, COR<sup>6</sup>, CO<sub>2</sub>R<sup>6</sup>, COCOR<sup>6</sup>, SO<sub>2</sub>R<sup>6</sup>, SO<sub>2</sub>N(R<sup>6</sup>)<sub>2</sub>, or NRSO<sub>2</sub>R<sup>6</sup>; or R<sup>2</sup> and R<sup>3</sup> are taken together to form a fused, unsaturated or partially unsaturated, optionally substituted 5-8 membered ring containing 0-2

ring heteroatoms selected from nitrogen, oxygen, or sulfur;

$R^4$  is selected from  $R^6$ ,  $\text{CON}(R^6)$ ,  $\text{COR}^6$ ,  $\text{CO}_2R^6$ ,  $\text{COCOR}^6$ ,  $\text{SO}_2R^6$ ,  $\text{SO}_2\text{N}(R^6)_2$ , or  $(\text{CH}_2)_yR^2$ ;

$y$  is 1-6;

$R^5$  is selected from  $R^7$ ,  $\text{Ar}$ ,  $\text{COAr}$ ,  $\text{CON}(R^7)\text{Ar}$ ,  $(\text{CH}_2)_y\text{CO}_2R$ ,  $(\text{CH}_2)_y\text{N}(R^7)_2$ ,  $\text{C}(=\text{NR}^{10})-\text{N}(R^7)_2$ ,  $\text{C}(=\text{NR}^{10})-\text{NRCOR}$ ,  $\text{C}(=\text{S})-\text{N}(R^7)_2$ ,  $\text{CON}(R^7)_2$ ,  $\text{COR}$ ,  $\text{SO}_2R$ , or  $\text{SO}_2\text{N}(R^7)_2$ ;

$\text{Ar}$  is a five membered heteroaryl, heterocyclyl, or carbocyclyl ring, wherein said ring is optionally substituted by up to three substituents selected from oxo, halogen,  $\text{CN}$ ,  $\text{NO}_2$ ,  $R^8$ ,  $\text{OR}^8$ ,  $\text{NHR}^8$ ,  $\text{NHCOR}^8$ ,  $\text{NHCONHR}^8$ ,  $\text{COR}^8$ ,  $\text{CONHR}^8$ ,  $\text{SO}_2R^8$ ,  $\text{NHSO}_2\text{NHR}^8$  or  $\text{SO}_2\text{NHR}^8$ ;

each  $R^6$  is independently selected from  $R^7$  or an optionally substituted group selected from alkoxy, hydroxyalkyl, heterocyclyl, heterocyclcylalkyl, aryl, aralkyl, aralkoxy, aryloxyalkyl, heteroaryl, heteroaralkyl, heteroaralkoxy, or heteroarayloxyalkyl;

each  $R^7$  is independently selected from hydrogen or an optionally substituted aliphatic group having one to six carbons, or two  $R^7$  on the same nitrogen taken together with the nitrogen optionally form a four to six member, saturated or unsaturated heterocyclic ring having one to three heteroatoms;

$R^8$  is a  $\text{C}_1\text{-C}_4$  aliphatic group, wherein two  $R^8$  on adjacent positions of  $\text{Ar}$ , or an aryl or heteroaryl ring, may be taken together with their intervening atoms to form a three to six membered fused ring;

each  $R^9$  is independently selected from oxo, halogen,  $\text{CN}$ ,  $\text{NO}_2$ ,  $\text{T}_n(\text{haloalkyl})$ ,  $R^6$ ,  $\text{SR}^6$ ,  $\text{OR}^6$ ,  $\text{OR}^8$ ,  $\text{N}(R^6)_2$ ,  $\text{CON}(R^6)_2$ ,  $\text{CON}(R)\text{COR}^6$ ,  $\text{COR}^6$ ,  $\text{CO}_2R^6$ ,  $\text{CO}_2\text{N}(R^6)_2$ ,  $\text{COCOR}^6$ ,  $\text{SO}_2R^6$ ,  $\text{SO}_2\text{N}(R^6)_2$ ,  $\text{N}(R)\text{T}_n\text{CO}_2R^6$ ,  $\text{N}(R)\text{T}_n\text{CON}(R^6)_2$ ,  $\text{N}(R)\text{T}_n\text{N}(R^6)_2$ ,

5

$\text{N}(R)\text{T}_n\text{NRCO}_2R^6$ ,  $\text{N}(R)\text{T}_n\text{NRCON}(R^6)_2$ ,  $\text{N}(R)\text{T}_n\text{COR}^6$ ,  $\text{N}(R)\text{T}_n\text{NRCOR}^6$ ,

10015332-121201

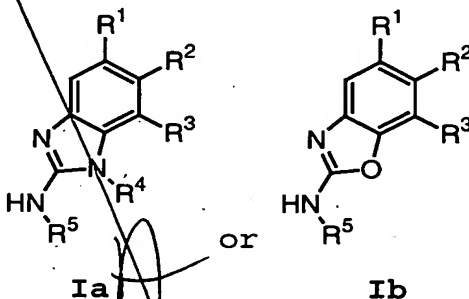
$N(R)T_nSO_2N(R^6)_2$ ,  $N(R)T_nSO_2R^6$ ,  $T_nPO(OR^7)_2$ ,  $T_nOPO(OR^7)_2$ ,  
 $T_nSP(OR^7)_2$ ,  $T_nPO(OR^7)_2$ , or  $T_nNPO(OR^7)_2$ ;

each Q is an independently selected  $C_1$ - $C_3$  branched or straight alkyl;

T is selected from  $-Q-$  or  $-Q_m-CH(Q_m-R^2)-$ ;

each m and n are independently selected from zero or one; and  $R^{10}$  is selected from  $R^7$  or Ar.

2. The method according to claim 1, wherein said compound has the formula Ia or Ib:



or a pharmaceutically acceptable derivative or prodrug thereof.

3. The method according to claim 2, wherein said compound has one or more features selected from the group consisting of:

- (a)  $R^1$  is an optionally substituted aryl or heteroaryl ring;
- (b)  $R^2$  and  $R^3$  are each independently selected from halogen, CN,  $CO_2R^6$ ,  $OR^6$ , or  $R^6$ ;
- (c)  $R^5$  is  $CO_2R$ ,  $COAr$ ,  $COR$ ,  $CON(R^7)_2$ , Ar,  $(CH_2)_yCO_2R$ , or  $(CH_2)_yN(R^7)_2$ ; and
- (d)  $R^9$  is halogen, CN, oxo,  $R^6$ ,  $SR^6$ ,  $OR^6$ ,  $N(R^6)_2$ ,  $CON(R^6)_2$ ,  $CO_2R^6$ ,  $CON(R)COR^6$ ,  $N(R)T_nCO_2R^6$ ,  $N(R)T_nNRCO_2R^6$ ,  $N(R)T_nN(R^6)_2$ ,  $NO_2$ ,  $T_n(haloalkyl)$ ,  $CO_2N(R^6)_2$ ,  $COR^6$ ,  $SO_2R^6$ , or  $SO_2N(R^6)_2$ .

10045332.121204

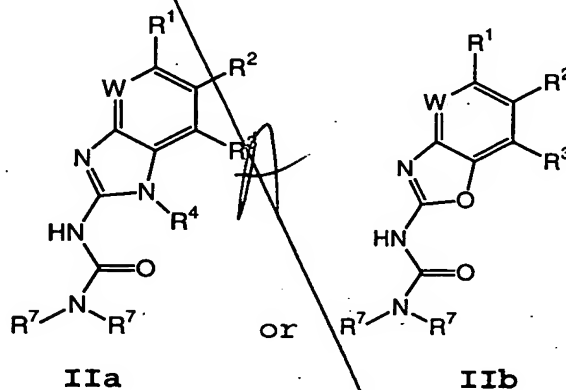
4. The method according to claim 3, wherein:
- (a)  $R^1$  is an optionally substituted aryl or heteroaryl ring;
  - (b)  $R^2$  and  $R^3$  are each independently selected from halogen, CN,  $CO_2R^6$ ,  $OR^6$ , or  $R^6$ ;
  - (c)  $R^5$  is  $CO_2R$ ,  $COAr$ ,  $COR$ ,  $CON(R^7)_2$ ,  $Ar$ ,  $(CH_2)_yCO_2R$ , or  $(CH_2)_yN(R^7)_2$ ; and
  - (d)  $R^9$  is halogen, CN, oxo,  $R^6$ ,  $SR^6$ ,  $OR^6$ ,  $N(R^6)_2$ ,  $CON(R^6)_2$ ,  $CO_2R^6$ ,  $CON(R)COR^6$ ,  $N(R)T_nCO_2R^6$ ,  $N(R)T_nNRCO_2R^6$ ,  $N(R)T_nN(R^6)_2$ ,  $NO_2$ ,  $T_n(haloalkyl)$ ,  $CO_2N(R^6)_2$ ,  $COR^6$ ,  $SO_2R^6$ , or  $SO_2N(R^6)_2$ .
5. The method according to claim 3, wherein said compound has one or more features selected from the group consisting of:
- (a)  $R^1$  is an optionally substituted ring selected from phenyl, 2-pyridyl, 3-pyridyl, 4-pyridyl, thienyl, pyrimidyl, imidazol-1-yl, imidazol-2-yl, pyrazol-1-yl, amino-pyrimidinyl, quinolinyl, aminobenzimidazole, or indolyl;
  - (b)  $R^2$  is hydrogen, alkoxy, aminoalkyl, or halogen;
  - (c)  $R^3$  is hydrogen, alkoxy, aralkoxy, or halogen;
  - (d)  $R^4$  is hydrogen or  $(CH_2)_yR^2$ ;
  - (e)  $R^5$  is  $CON(R^7)_2$ ,  $Ar$ ,  $(CH_2)_yCO_2R$ , or  $(CH_2)_yN(R^7)_2$ ; and
  - (f)  $R^9$  is halogen, CN, oxo,  $R^6$ ,  $SR^6$ ,  $OR^6$ ,  $N(R^6)_2$ ,  $CON(R^6)_2$ ,  $CO_2R^6$ ,  $CON(R)COR^6$ , or  $N(R)T_nCO_2R^6$ .
6. The method according to claim 5, wherein:
- (a)  $R^1$  is an optionally substituted ring selected from phenyl, 2-pyridyl, 3-pyridyl, 4-pyridyl, thienyl, pyrimidyl, imidazol-1-yl, imidazol-2-

10015332.121201

yl, pyrazol-1-yl, amino-pyrimidinyl, quinolinyl, aminobenzimidazole, or indolyl;

- (b)  $R^2$  is hydrogen, alkoxy, aminoalkyl, or halogen;
- (c)  $R^3$  is hydrogen, alkoxy, aralkoxy, or halogen;
- (d)  $R^4$  is hydrogen or  $(CH_2)_yR^2$ ;
- (e)  $R^5$  is  $CON(R^7)_2$ , Ar,  $(CH_2)_yCO_2R$ , or  $(CH_2)_yN(R^7)_2$ ; and
- (f)  $R^9$  is halogen, CN, oxo,  $R^6$ ,  $SR^6$ ,  $OR^6$ ,  $N(R^6)_2$ ,  $CON(R^6)_2$ ,  $CO_2R^6$ ,  $CON(R)COR^6$ , or  $N(R)T_nCO_2R^6$ .

7. The method according to claim 1, wherein said compound has the formula IIa or IIb:



or a pharmaceutically acceptable derivative or prodrug thereof, wherein:

or a pharmaceutically acceptable derivative or prodrug thereof, wherein:

W is nitrogen or  $CR^a$ ;

$R^a$  is selected from hydrogen, halogen,  $-CF_3$ ,  $R^7$ ,  $-OR^7$ , or  $-N(R^7)_2$ ;

$R^1$  is an aryl or heteroaryl ring, wherein said ring is optionally substituted by up to four  $R^9$ ; wherein an  $R^9$  substituent in the ortho-position of  $R^1$  taken together with  $R^2$  may form a fused, unsaturated or partially unsaturated, optionally substituted 5-8 membered ring

having 0-2 ring heteroatoms selected from nitrogen, oxygen, or sulfur;

$R^2$  and  $R^3$  are each independently selected from  $R^6$ , halogen, CN,  $SR^6$ ,  $OR^6$ ,  $N(R^6)_2$ ,  $NR(CO_2R^6)$ ,  $NRCON(R^6)_2$ ,  $CON(R^6)_2$ ,  $NRCOR^6$ ,  $NRN(R^6)_2$ ,  $COR^6$ ,  $CO_2R^6$ ,  $COCOR^6$ ,  $SO_2R^6$ ,  $SO_2N(R^6)_2$ , or  $NRSO_2R^6$ ; or  $R^2$  and  $R^3$  are taken together to form a fused, unsaturated or partially unsaturated, optionally substituted 5-8 membered ring containing 0-2 ring heteroatoms selected from nitrogen, oxygen, or sulfur;

$R^4$  is selected from  $R^6$ ,  $CON(R^6)$ ,  $COR^6$ ,  $CO_2R^6$ ,  $COCOR^6$ ,  $SO_2R^6$ ,  $SO_2N(R^6)_2$ , or  $(CH_2)_yR^2$ ;

y is 1-6;

Ar is a five membered heteroaryl, heterocyclyl, or carbocyclyl ring, wherein said ring is optionally substituted by up to three substituents selected from oxo, halogen, CN,  $NO_2$ ,  $R^8$ ,  $OR^8$ ,  $NHR^8$ ,  $NHCOR^8$ ,  $NHCONHR^8$ ,  $COR^8$ ,  $CONHR^8$ ,  $SO_2R^8$ ,  $NHSO_2NHR^8$  or  $SO_2NHR^8$ ;

each  $R^6$  is independently selected from  $R^7$  or an optionally substituted group selected from alkoxy, hydroxyalkyl, heterocyclyl, heterocyclcylalkyl, aryl, aralkyl, aralkoxy, aryloxyalkyl, heteroaryl, heteroaralkyl, heteroaralkoxy, or heteroarayloxyalkyl;

each  $R^7$  is independently selected from hydrogen or an optionally substituted aliphatic group having one to six carbons, or two  $R^7$  on the same nitrogen taken together with the nitrogen optionally form a four to six member, saturated or unsaturated heterocyclic ring having one to three heteroatoms;

$R^8$  is a  $C_1$ - $C_4$  aliphatic group, wherein two  $R^8$  on adjacent positions of Ar, or an aryl or heteroaryl ring, may be taken together with their intervening atoms to form a three to six membered fused ring;

10015333 121201

each  $R^9$  is independently selected from oxo, halogen, CN,  $NO_2$ ,  $T_n(\text{haloalkyl})$ ,  $R^6$ ,  $SR^6$ ,  $OR^6$ ,  $OR^8$ ,  $N(R^6)_2$ ,  $CON(R^6)_2$ ,  $CON(R)COR^6$ ,  $COR^6$ ,  $CO_2R^6$ ,  $CO_2N(R^6)_2$ ,  $COCOR^6$ ,  $SO_2R^6$ ,  $SO_2N(R^6)_2$ ,  $N(R)T_nCO_2R^6$ ,  $N(R)T_nCON(R^6)_2$ ,  $N(R)T_nN(R^6)_2$ ,  $N(R)T_nNRCO_2R^6$ ,  $N(R)T_nNRCON(R^6)_2$ ,  $N(R)T_nCOR^6$ ,  $N(R)T_nNRCOR^6$ ,  $N(R)T_nSO_2N(R^6)_2$ ,  $N(R)T_nSO_2R^6$ ,  $T_nPO(OR^7)_2$ ,  $T_nOPO(OR^7)_2$ ,  $T_nSP(OR^7)_2$ ,  $T_nPO(OR^7)_2$ , or  $T_nNPO(OR^7)_2$ ;

each Q is an independently selected  $C_1$ - $C_3$  branched or straight alkyl;

T is selected from  $-Q-$  or  $-Q_m-CH(Q_m-R^2)-$ ; and

each m and n are independently selected from zero or one.

8. The method according to claim 7, wherein said compound has one or more features selected from the group consisting of:

- (a)  $R^1$  is an optionally substituted aryl or heteroaryl ring;
- (b)  $R^2$  and  $R^3$  are each independently selected from halogen, CN,  $CO_2R^6$ ,  $OR^6$ , or  $R^6$ ; and
- (c)  $R^9$  is halogen, CN, oxo,  $R^6$ ,  $SR^6$ ,  $OR^6$ ,  $N(R^6)_2$ ,  $CON(R^6)_2$ ,  $CO_2R^6$ ,  $CON(R)COR^6$ ,  $N(R)T_nCO_2R^6$ ,  $N(R)T_nNRCO_2R^6$ ,  $N(R)T_nN(R^6)_2$ ,  $NO_2$ ,  $T_n(\text{haloalkyl})$ ,  $CO_2N(R^6)_2$ ,  $COR^6$ ,  $SO_2R^6$ , or  $SO_2N(R^6)_2$ .

9. The method according to claim 8, wherein:

- (a)  $R^1$  is an optionally substituted aryl or heteroaryl ring;
- (b)  $R^2$  and  $R^3$  are each independently selected from halogen, CN,  $CO_2R^6$ ,  $OR^6$ , or  $R^6$ ; and
- (c)  $R^9$  is halogen, CN, oxo,  $R^6$ ,  $SR^6$ ,  $OR^6$ ,  $N(R^6)_2$ ,  $CON(R^6)_2$ ,  $CO_2R^6$ ,  $CON(R)COR^6$ ,  $N(R)T_nCO_2R^6$ ,  $N(R)T_nNRCO_2R^6$ ,  $N(R)T_nN(R^6)_2$ ,  $NO_2$ ,  $T_n(\text{haloalkyl})$ ,  $CO_2N(R^6)_2$ ,  $COR^6$ ,  $SO_2R^6$ , or  $SO_2N(R^6)_2$ .

100153332-121201

10. The method according to claim 8, wherein said compound has one or more features selected from the group consisting of:

- (a)  $R^1$  is an optionally substituted ring selected from phenyl, 2-pyridyl, 3-pyridyl, 4-pyridyl, thienyl, pyrimidyl, imidazol-1-yl, imidazol-2-yl, pyrazol-1-yl, amino-pyrimidinyl, quinolinyl, aminobenzimidazole, or indolyl;
- (b)  $R^2$  is hydrogen, alkoxy, aminoalkyl, or halogen;
- (c)  $R^3$  is hydrogen, alkoxy, aralkoxy, or halogen;
- (d)  $R^4$  is hydrogen or  $(CH_2)_yR^2$ ; and
- (e)  $R^9$  is halogen, CN, oxo,  $R^6$ ,  $SR^6$ ,  $OR^6$ ,  $N(R^6)_2$ ,  $CON(R^6)_2$ ,  $CO_2R^6$ ,  $CON(R)COR^6$ , or  $N(R)T_nCO_2R^6$ .

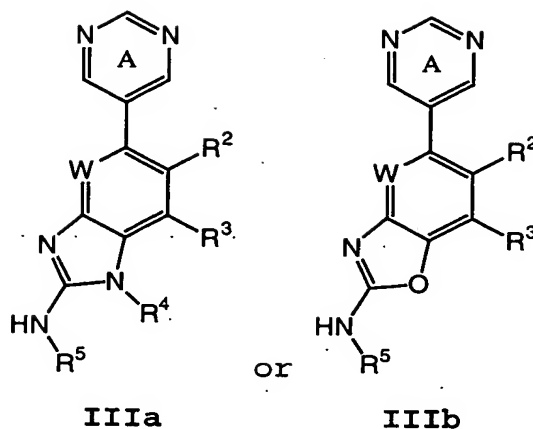
11. The method according to claim 10, wherein:

- (a)  $R^1$  is an optionally substituted ring selected from phenyl, 2-pyridyl, 3-pyridyl, 4-pyridyl, thienyl, pyrimidyl, imidazol-1-yl, imidazol-2-yl, pyrazol-1-yl, amino-pyrimidinyl, quinolinyl, aminobenzimidazole, or indolyl;
- (b)  $R^2$  is hydrogen, alkoxy, aminoalkyl, or halogen;
- (c)  $R^3$  is hydrogen, alkoxy, aralkoxy, or halogen;
- (d)  $R^4$  is hydrogen or  $(CH_2)_yR^2$ ; and
- (e)  $R^9$  is halogen, CN, oxo,  $R^6$ ,  $SR^6$ ,  $OR^6$ ,  $N(R^6)_2$ ,  $CON(R^6)_2$ ,  $CO_2R^6$ ,  $CON(R)COR^6$ , or  $N(R)T_nCO_2R^6$ .

12. The method according to claim 1, wherein said compound has the formula IIIa or IIIb:

10015332.121201





or a pharmaceutically acceptable derivative or prodrug thereof, wherein:

W is nitrogen or CR<sup>a</sup>;

R<sup>a</sup> is selected from hydrogen, halogen, -CF<sub>3</sub>, R<sup>7</sup>, -OR<sup>7</sup>, or -N(R<sup>7</sup>)<sub>2</sub>;

Ring A is optionally substituted with up to three R<sup>9</sup>; wherein when an R<sup>9</sup> substituent is in the ortho-position of Ring A, said R<sup>9</sup> substituent may be taken together with R<sup>2</sup> to form an optionally substituted 5-7 membered ring containing 0-2 ring heteroatoms selected from nitrogen, oxygen, or sulfur;

R<sup>2</sup> and R<sup>3</sup> are each independently selected from R<sup>6</sup>, halogen, CN, SR<sup>6</sup>, OR<sup>6</sup>, N(R<sup>6</sup>)<sub>2</sub>, NRCO<sub>2</sub>R<sup>6</sup>, NRCON(R<sup>6</sup>)<sub>2</sub>, CON(R<sup>6</sup>)<sub>2</sub>, NRCOR<sup>6</sup>, NRN(R<sup>6</sup>)<sub>2</sub>, COR<sup>6</sup>, CO<sub>2</sub>R<sup>6</sup>, COCOR<sup>6</sup>, SO<sub>2</sub>R<sup>6</sup>, SO<sub>2</sub>N(R<sup>6</sup>)<sub>2</sub>, or NRSO<sub>2</sub>R<sup>6</sup>; or R<sup>2</sup> and R<sup>3</sup> are taken together to form a fused, unsaturated or partially unsaturated, optionally substituted 5-8 membered ring containing 0-2 ring heteroatoms selected from nitrogen, oxygen, or sulfur;

R<sup>4</sup> is selected from R<sup>6</sup>, CON(R<sup>6</sup>), COR<sup>6</sup>, CO<sub>2</sub>R<sup>6</sup>, COCOR<sup>6</sup>, SO<sub>2</sub>R<sup>6</sup>, SO<sub>2</sub>N(R<sup>6</sup>)<sub>2</sub>, or (CH<sub>2</sub>)<sub>y</sub>R<sup>2</sup>;

y is 1-6;

10045332-121201

$R^5$  is selected from  $R^7$ , Ar, COAr,  $CON(R^7)Ar$ ,  $(CH_2)_yCO_2R$ ,  $(CH_2)_yN(R^7)_2$ ,  $C(=NR^{10})-N(R^7)_2$ ,  $C(=NR^{10})-NRCOR$ ,  $C(=S)-N(R^7)_2$ ,  $CON(R^7)_2$ , COR,  $SO_2R$ , or  $SO_2N(R^7)_2$ ;

Ar is a five membered heteroaryl, heterocyclyl, or carbocyclyl ring, wherein said ring is optionally substituted by up to three substituents selected from oxo, halogen, CN,  $NO_2$ ,  $R^8$ ,  $OR^8$ ,  $NHR^8$ ,  $NHCOR^8$ ,  $NHCONHR^8$ ,  $COR^8$ ,  $CONHR^8$ ,  $SO_2R^8$ ,  $NHSO_2NHR^8$  or  $SO_2NHR^8$ ;

each  $R^6$  is independently selected from  $R^7$  or an optionally substituted group selected from alkoxy, hydroxyalkyl, heterocyclyl, heterocyclcylalkyl, aryl, aralkyl, aralkoxy, aryloxyalkyl, heteroaryl, heteroaralkyl, heteroaralkoxy, or heteroarayloxyalkyl;

each  $R^7$  is independently selected from hydrogen or an optionally substituted aliphatic group having one to six carbons, or two  $R^7$  on the same nitrogen taken together with the nitrogen optionally form a four to six member, saturated or unsaturated heterocyclic ring having one to three heteroatoms;

$R^8$  is a  $C_1$ - $C_4$  aliphatic group, wherein two  $R^8$  on adjacent positions of Ar, or an aryl or heteroaryl ring, may be taken together with their intervening atoms to form a three to six membered fused ring;

each  $R^9$  is independently selected from oxo, halogen, CN,  $NO_2$ ,  $T_n(\text{haloalkyl})$ ,  $R^6$ ,  $SR^6$ ,  $OR^6$ ,  $OR^8$ ,  $N(R^6)_2$ ,  $CON(R^6)_2$ ,  $CON(R)COR^6$ ,  $COR^6$ ,  $CO_2R^6$ ,  $CO_2N(R^6)_2$ ,  $COCOR^6$ ,  $SO_2R^6$ ,  $SO_2N(R^6)_2$ ,  $N(R)T_nCO_2R^6$ ,  $N(R)T_nCON(R^6)_2$ ,  $N(R)T_nN(R^6)_2$ ,  $N(R)T_nNRCO_2R^6$ ,  $N(R)T_nNRCON(R^6)_2$ ,  $N(R)T_nCOR^6$ ,  $N(R)T_nNRCOR^6$ ,  $N(R)T_nSO_2N(R^6)_2$ ,  $N(R)T_nSO_2R^6$ ,  $T_nPO(OR^7)_2$ ,  $T_nOPO(OR^7)_2$ ,  $T_nSP(OR^7)_2$ ,  $T_nPO(OR^7)_2$ , or  $T_nNPO(OR^7)_2$ ;

each Q is an independently selected  $C_1$ - $C_3$  branched or straight alkyl;

T is selected from -Q- or  $-Q_m-CH(Q_m-R^2)-$ ;

10015332.121201

each m and n are independently selected from zero or one; and  $R^{10}$  is selected from  $R^7$  or Ar.

13. The method according to claim 12, wherein said compound has one or more features selected from the group consisting of:

- (a)  $R^2$  and  $R^3$  are each independently selected from halogen, CN,  $CO_2R^6$ ,  $OR^6$ , or  $R^6$ ;
- (b)  $R^5$  is  $CO_2R$ , COAr, COR,  $CON(R^7)_2$ , Ar,  $(CH_2)_yCO_2R$ , or  $(CH_2)_yN(R^7)_2$ ; and
- (c)  $R^9$  is halogen, CN, oxo,  $R^6$ ,  $SR^6$ ,  $OR^6$ ,  $N(R^6)_2$ ,  $CON(R^6)_2$ ,  $CO_2R^6$ ,  $CON(R)COR^6$ ,  $N(R)T_nCO_2R^6$ ,  $N(R)T_nNRCO_2R^6$ ,  $N(R)T_nN(R^6)_2$ ,  $NO_2$ ,  $T_n(\text{haloalkyl})$ ,  $CO_2N(R^6)_2$ ,  $COR^6$ ,  $SO_2R^6$ , or  $SO_2N(R^6)_2$ .

14. The method according to claim 13, wherein:

- (a)  $R^2$  and  $R^3$  are each independently selected from halogen, CN,  $CO_2R^6$ ,  $OR^6$ , or  $R^6$ ;
- (b)  $R^5$  is  $CO_2R$ , COAr, COR,  $CON(R^7)_2$ , Ar,  $(CH_2)_yCO_2R$ , or  $(CH_2)_yN(R^7)_2$ ; and
- (c)  $R^9$  is halogen, CN, oxo,  $R^6$ ,  $SR^6$ ,  $OR^6$ ,  $N(R^6)_2$ ,  $CON(R^6)_2$ ,  $CO_2R^6$ ,  $CON(R)COR^6$ ,  $N(R)T_nCO_2R^6$ ,  $N(R)T_nNRCO_2R^6$ ,  $N(R)T_nN(R^6)_2$ ,  $NO_2$ ,  $T_n(\text{haloalkyl})$ ,  $CO_2N(R^6)_2$ ,  $COR^6$ ,  $SO_2R^6$ , or  $SO_2N(R^6)_2$ .

15. The method according to claim 13, wherein said compound has one or more features selected from the group consisting of:

- (a)  $R^2$  is hydrogen, alkoxy, aminoalkyl, or halogen;
- (b)  $R^3$  is hydrogen, alkoxy, aralkoxy, or halogen;
- (c)  $R^4$  is hydrogen or  $(CH_2)_yR^2$ ;
- (d)  $R^5$  is  $CON(R^7)_2$ , Ar,  $(CH_2)_yCO_2R$ , or  $(CH_2)_yN(R^7)_2$ ; and

10015332.121201

(e)  $R^9$  is halogen, CN, oxo,  $R^6$ ,  $SR^6$ ,  $OR^6$ ,  $N(R^6)_2$ ,  $CON(R^6)_2$ ,  $CO_2R^6$ ,  $CON(R)COR^6$ , or  $N(R)T_nCO_2R^6$ .

16. The method according to claim 15, wherein:

(a)  $R^2$  is hydrogen, alkoxy, aminoalkyl, or halogen;

(b)  $R^3$  is hydrogen, alkoxy, aralkoxy, or halogen;

(c)  $R^4$  is hydrogen or  $(CH_2)_yR^2$ ;

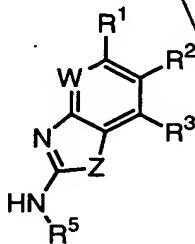
(d)  $R^5$  is  $CON(R^7)_2$ , Ar,  $(CH_2)_yCO_2R$ , or  $(CH_2)_yN(R^7)_2$ ; and

(e)  $R^9$  is halogen, CN, oxo,  $R^6$ ,  $SR^6$ ,  $OR^6$ ,  $N(R^6)_2$ ,  $CON(R^6)_2$ ,  $CO_2R^6$ ,  $CON(R)COR^6$ , or  $N(R)T_nCO_2R^6$ .

17. The method according to claim 1, wherein said compound is selected from those listed in either of Tables 1 or 2.

18. The method according to claim 1 further comprising the step of contacting said biological sample with an agent which increases the susceptibility of bacterial organisms to antibiotics.

19. A method of treating a bacterial infection in a mammal in need thereof, comprising the step of administering to said mammal a therapeutically effective amount of a compound of formula I:



I

10015332.121201

or a pharmaceutically acceptable derivative or prodrug thereof, wherein:

Z is O or N-R<sup>4</sup>;

W is nitrogen or CR<sup>a</sup>;

R<sup>a</sup> is selected from hydrogen, halogen, -CF<sub>3</sub>, R<sup>7</sup>, -OR<sup>7</sup>, or -N(R<sup>7</sup>)<sub>2</sub>;

R<sup>1</sup> is an aryl or heteroaryl ring, wherein said ring is optionally substituted by up to four R<sup>9</sup>; wherein an R<sup>9</sup> substituent in the ortho-position of R<sup>1</sup> taken together with R<sup>2</sup> may form a fused, unsaturated or partially unsaturated, optionally substituted 5-8 membered ring having 0-2 ring heteroatoms selected from nitrogen, oxygen, or sulfur;

R<sup>2</sup> and R<sup>3</sup> are each independently selected from R<sup>6</sup>, halogen, CN, SR<sup>6</sup>, OR<sup>6</sup>, N(R<sup>6</sup>)<sub>2</sub>, NRCO<sub>2</sub>R<sup>6</sup>, NRCON(R<sup>6</sup>)<sub>2</sub>, CON(R<sup>6</sup>)<sub>2</sub>, NRCOR<sup>6</sup>, NRN(R<sup>6</sup>)<sub>2</sub>, COR<sup>6</sup>, CO<sub>2</sub>R<sup>6</sup>, COCOR<sup>6</sup>, SO<sub>2</sub>R<sup>6</sup>, SO<sub>2</sub>N(R<sup>6</sup>)<sub>2</sub>, or NRSO<sub>2</sub>R<sup>6</sup>; or R<sup>2</sup> and R<sup>3</sup> are taken together to form a fused, unsaturated or partially unsaturated, optionally substituted 5-8 membered ring containing 0-2 ring heteroatoms selected from nitrogen, oxygen, or sulfur;

R<sup>4</sup> is selected from R<sup>6</sup>, CON(R<sup>6</sup>), COR<sup>6</sup>, CO<sub>2</sub>R<sup>6</sup>, COCOR<sup>6</sup>, SO<sub>2</sub>R<sup>6</sup>, SO<sub>2</sub>N(R<sup>6</sup>)<sub>2</sub>, or (CH<sub>2</sub>)<sub>y</sub>R<sup>2</sup>;

y is 1-6;

R<sup>5</sup> is selected from R<sup>7</sup>, Ar, COAr, CON(R<sup>7</sup>)Ar, (CH<sub>2</sub>)<sub>y</sub>CO<sub>2</sub>R, (CH<sub>2</sub>)<sub>y</sub>N(R<sup>7</sup>)<sub>2</sub>, C(=NR<sup>10</sup>)-N(R<sup>7</sup>)<sub>2</sub>, C(=NR<sup>10</sup>)-NRCOR, C(=S)-N(R<sup>7</sup>)<sub>2</sub>, CON(R<sup>7</sup>)<sub>2</sub>, COR, SO<sub>2</sub>R, or SO<sub>2</sub>N(R<sup>7</sup>)<sub>2</sub>;

Ar is a five membered heteroaryl, heterocyclyl, or carbocyclyl ring, wherein said ring is optionally substituted by up to three substituents selected from oxo, halogen, CN, NO<sub>2</sub>, R<sup>8</sup>, OR<sup>8</sup>, NHR<sup>8</sup>, NHCOR<sup>8</sup>, NHCONHR<sup>8</sup>, COR<sup>8</sup>, CONHR<sup>8</sup>, SO<sub>2</sub>R<sup>8</sup>, NHSO<sub>2</sub>NHR<sup>8</sup> or SO<sub>2</sub>NHR<sup>8</sup>;

100153332-121201

each  $R^6$  is independently selected from  $R^7$  or an optionally substituted group selected from alkoxy, hydroxyalkyl, heterocyclyl, heterocyclcylalkyl, aryl, aralkyl, aralkoxy, aryloxyalkyl, heteroaryl, heteroaralkyl, heteroaralkoxy, or heteroarayloxyalkyl;

each  $R^7$  is independently selected from hydrogen or an optionally substituted aliphatic group having one to six carbons, or two  $R^7$  on the same nitrogen taken together with the nitrogen optionally form a four to six member, saturated or unsaturated heterocyclic ring having one to three heteroatoms;

$R^8$  is a  $C_1$ - $C_4$  aliphatic group, wherein two  $R^8$  on adjacent positions of Ar, or an aryl or heteroaryl ring, may be taken together with their intervening atoms to form a three to six membered fused ring;

each  $R^9$  is independently selected from oxo, halogen, CN,  $NO_2$ ,  $T_n(\text{haloalkyl})$ ,  $R^6$ ,  $SR^6$ ,  $OR^6$ ,  $OR^8$ ,  $N(R^6)_2$ ,  $CON(R^6)_2$ ,  $CON(R)COR^6$ ,  $COR^6$ ,  $CO_2R^6$ ,  $CO_2N(R^6)_2$ ,  $COCOR^6$ ,  $SO_2R^6$ ,  $SO_2N(R^6)_2$ ,  $N(R)T_nCO_2R^6$ ,  $N(R)T_nCON(R^6)_2$ ,  $N(R)T_nN(R^6)_2$ ,  $N(R)T_nNRCO_2R^6$ ,  $N(R)T_nNRCON(R^6)_2$ ,  $N(R)T_nCOR^6$ ,  $N(R)T_nNRCOR^6$ ,  $N(R)T_nSO_2N(R^6)_2$ ,  $N(R)T_nSO_2R^6$ ,  $T_nPO(OR^7)_2$ ,  $T_nOPO(OR^7)_2$ ,  $T_nSP(OR^7)_2$ ,  $T_nPO(OR^7)_2$ , or  $T_nNPO(OR^7)_2$ ;

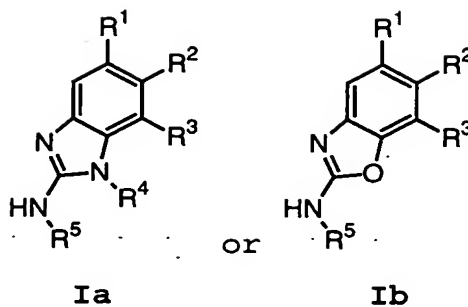
each Q is an independently selected  $C_1$ - $C_3$  branched or straight alkyl;

T is selected from  $-Q-$  or  $-Q_m-CH(Q_m-R^2)-$ ;

each m and n are independently selected from zero or one; and  $R^{10}$  is selected from  $R^7$  or Ar.

20. The method according to claim 19, wherein said compound has the formula Ia or Ib:

10015332.121201



or a pharmaceutically acceptable derivative or prodrug thereof.

21. The method according to claim 20, wherein said compound has one or more features selected from the group consisting of:

- (a)  $R^1$  is an optionally substituted aryl or heteroaryl ring;
- (b)  $R^2$  and  $R^3$  are each independently selected from halogen, CN,  $CO_2R^6$ ,  $OR^6$ , or  $R^6$ ;
- (c)  $R^5$  is  $CO_2R$ ,  $COAr$ ,  $COR$ ,  $CON(R^7)_2$ ,  $Ar$ ,  $(CH_2)_yCO_2R$ , or  $(CH_2)_yN(R^7)_2$ ; and
- (d)  $R^9$  is halogen, CN, oxo,  $R^6$ ,  $SR^6$ ,  $OR^6$ ,  $N(R^6)_2$ ,  $CON(R^6)_2$ ,  $CO_2R^6$ ,  $CON(R)COR^6$ ,  $N(R)T_nCO_2R^6$ ,  $N(R)T_nNRCO_2R^6$ ,  $N(R)T_nN(R^6)_2$ ,  $NO_2$ ,  $T_n(\text{haloalkyl})$ ,  $CO_2N(R^6)_2$ ,  $COR^6$ ,  $SO_2R^6$ , or  $SO_2N(R^6)_2$ .

22. The method according to claim 21, wherein:

- (a)  $R^1$  is an optionally substituted aryl or heteroaryl ring;
- (b)  $R^2$  and  $R^3$  are each independently selected from halogen, CN,  $CO_2R^6$ ,  $OR^6$ , or  $R^6$ ;
- (c)  $R^5$  is  $CO_2R$ ,  $COAr$ ,  $COR$ ,  $CON(R^7)_2$ ,  $Ar$ ,  $(CH_2)_yCO_2R$ , or  $(CH_2)_yN(R^7)_2$ ; and
- (d)  $R^9$  is halogen, CN, oxo,  $R^6$ ,  $SR^6$ ,  $OR^6$ ,  $N(R^6)_2$ ,  $CON(R^6)_2$ ,  $CO_2R^6$ ,  $CON(R)COR^6$ ,  $N(R)T_nCO_2R^6$ ,

10015332-121201

$N(R)T_nNR\text{CO}_2R^6$ ,  $N(R)T_nN(R^6)_2$ ,  $\text{NO}_2$ ,  $T_n(\text{haloalkyl})$ ,  
 $\text{CO}_2N(R^6)_2$ ,  $\text{COR}^6$ ,  $\text{SO}_2R^6$ , or  $\text{SO}_2N(R^6)_2$ .

23. The method according to claim 21, wherein said compound has one or more features selected from the group consisting of:

- (a)  $R^1$  is an optionally substituted ring selected from phenyl, 2-pyridyl, 3-pyridyl, 4-pyridyl, thienyl, pyrimidyl, imidazol-1-yl, imidazol-2-yl, pyrazol-1-yl, amino-pyrimidinyl, quinolinyl, aminobenzimidazole, or indolyl;
- (b)  $R^2$  is hydrogen, alkoxy, aminoalkyl, or halogen;
- (c)  $R^3$  is hydrogen, alkoxy, aralkoxy, or halogen;
- (d)  $R^4$  is hydrogen or  $(\text{CH}_2)_yR^2$ ;
- (e)  $R^5$  is  $\text{CON}(R^7)_2$ , Ar,  $(\text{CH}_2)_y\text{CO}_2R$ , or  $(\text{CH}_2)_yN(R^7)_2$ ; and
- (f)  $R^9$  is halogen, CN, oxo,  $R^6$ ,  $\text{SR}^6$ ,  $\text{OR}^6$ ,  $N(R^6)_2$ ,  $\text{CON}(R^6)_2$ ,  $\text{CO}_2R^6$ ,  $\text{CON}(R)\text{COR}^6$ , or  $N(R)T_n\text{CO}_2R^6$ .

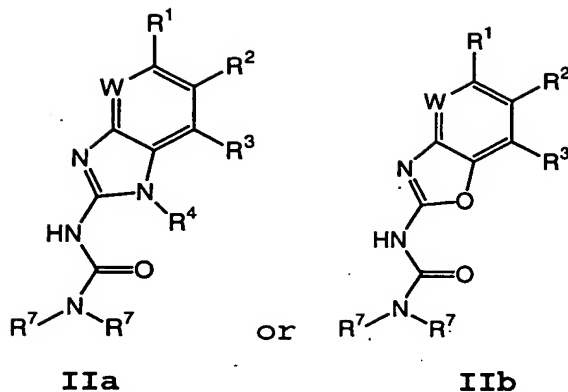
24. The method according to claim 23, wherein:

- (a)  $R^1$  is an optionally substituted ring selected from phenyl, 2-pyridyl, 3-pyridyl, 4-pyridyl, thienyl, pyrimidyl, imidazol-1-yl, imidazol-2-yl, pyrazol-1-yl, amino-pyrimidinyl, quinolinyl, aminobenzimidazole, or indolyl;
- (b)  $R^2$  is hydrogen, alkoxy, aminoalkyl, or halogen;
- (c)  $R^3$  is hydrogen, alkoxy, aralkoxy, or halogen;
- (d)  $R^4$  is hydrogen or  $(\text{CH}_2)_yR^2$ ;
- (e)  $R^5$  is  $\text{CON}(R^7)_2$ , Ar,  $(\text{CH}_2)_y\text{CO}_2R$ , or  $(\text{CH}_2)_yN(R^7)_2$ ; and
- (f)  $R^9$  is halogen, CN, oxo,  $R^6$ ,  $\text{SR}^6$ ,  $\text{OR}^6$ ,  $N(R^6)_2$ ,  $\text{CON}(R^6)_2$ ,  $\text{CO}_2R^6$ ,  $\text{CON}(R)\text{COR}^6$ , or  $N(R)T_n\text{CO}_2R^6$ .

25. The method according to claim 19, wherein said compound has the formula IIa or IIb:

10015332-121001





or a pharmaceutically acceptable derivative or prodrug thereof, wherein:

or a pharmaceutically acceptable derivative or prodrug thereof, wherein:

W is nitrogen or CR<sup>a</sup>;

R<sup>a</sup> is selected from hydrogen, halogen, -CF<sub>3</sub>, R<sup>7</sup>, -OR<sup>7</sup>, or -N(R<sup>7</sup>)<sub>2</sub>;

R<sup>1</sup> is an aryl or heteroaryl ring, wherein said ring is optionally substituted by up to four R<sup>9</sup>; wherein an R<sup>9</sup> substituent in the ortho-position of R<sup>1</sup> taken together with R<sup>2</sup> may form a fused, unsaturated or partially unsaturated, optionally substituted 5-8 membered ring having 0-2 ring heteroatoms selected from nitrogen, oxygen, or sulfur;

R<sup>2</sup> and R<sup>3</sup> are each independently selected from R<sup>6</sup>, halogen, CN, SR<sup>6</sup>, OR<sup>6</sup>, N(R<sup>6</sup>)<sub>2</sub>, NRCO<sub>2</sub>R<sup>6</sup>, NRCON(R<sup>6</sup>)<sub>2</sub>, CON(R<sup>6</sup>)<sub>2</sub>, NRCOR<sup>6</sup>, NRN(R<sup>6</sup>)<sub>2</sub>, COR<sup>6</sup>, CO<sub>2</sub>R<sup>6</sup>, COCOR<sup>6</sup>, SO<sub>2</sub>R<sup>6</sup>, SO<sub>2</sub>N(R<sup>6</sup>)<sub>2</sub>, or NRSO<sub>2</sub>R<sup>6</sup>; or R<sup>2</sup> and R<sup>3</sup> are taken together to form a fused, unsaturated or partially unsaturated, optionally substituted 5-8 membered ring containing 0-2 ring heteroatoms selected from nitrogen, oxygen, or sulfur;

10015332 121201

$R^4$  is selected from  $R^6$ ,  $CON(R^6)$ ,  $COR^6$ ,  $CO_2R^6$ ,  $COCOR^6$ ,  $SO_2R^6$ ,  $SO_2N(R^6)_2$ , or  $(CH_2)_yR^2$ ;

$y$  is 1-6;

$Ar$  is a five membered heteroaryl, heterocyclyl, or carbocyclyl ring, wherein said ring is optionally substituted by up to three substituents selected from oxo, halogen,  $CN$ ,  $NO_2$ ,  $R^8$ ,  $OR^8$ ,  $NHR^8$ ,  $NHCOR^8$ ,  $NHCONHR^8$ ,  $COR^8$ ,  $CONHR^8$ ,  $SO_2R^8$ ,  $NHSO_2NHR^8$  or  $SO_2NHR^8$ ;

each  $R^6$  is independently selected from  $R^7$  or an optionally substituted group selected from alkoxy, hydroxyalkyl, heterocyclyl, heterocyclcylalkyl, aryl, aralkyl, aralkoxy, aryloxyalkyl, heteroaryl, heteroaralkyl, heteroaralkoxy, or heteroarayloxyalkyl;

each  $R^7$  is independently selected from hydrogen or an optionally substituted aliphatic group having one to six carbons, or two  $R^7$  on the same nitrogen taken together with the nitrogen optionally form a four to six member, saturated or unsaturated heterocyclic ring having one to three heteroatoms;

$R^8$  is a  $C_1$ - $C_4$  aliphatic group, wherein two  $R^8$  on adjacent positions of  $Ar$ , or an aryl or heteroaryl ring, may be taken together with their intervening atoms to form a three to six membered fused ring;

each  $R^9$  is independently selected from oxo, halogen,  $CN$ ,  $NO_2$ ,  $T_n(\text{haloalkyl})$ ,  $R^6$ ,  $SR^6$ ,  $OR^6$ ,  $OR^8$ ,  $N(R^6)_2$ ,  $CON(R^6)_2$ ,  $CON(R)COR^6$ ,  $COR^6$ ,  $CO_2R^6$ ,  $CO_2N(R^6)_2$ ,  $COCOR^6$ ,  $SO_2R^6$ ,  $SO_2N(R^6)_2$ ,  $N(R)T_nCO_2R^6$ ,  $N(R)T_nCON(R^6)_2$ ,  $N(R)T_nN(R^6)_2$ ,  $N(R)T_nNRCO_2R^6$ ,  $N(R)T_nNRCON(R^6)_2$ ,  $N(R)T_nCOR^6$ ,  $N(R)T_nNRCOR^6$ ,  $N(R)T_nSO_2N(R^6)_2$ ,  $N(R)T_nSO_2R^6$ ,  $T_nPO(OR^7)_2$ ,  $T_nOPO(OR^7)_2$ ,  $T_nSP(OR^7)_2$ ,  $T_nPO(OR^7)_2$ , or  $T_nNPO(OR^7)_2$ ;

each  $Q$  is an independently selected  $C_1$ - $C_3$  branched or straight alkyl;

$T$  is selected from  $-Q-$  or  $-Q_m-CH(Q_m-R^2)-$ ; and

10015332-121201

each m and n are independently selected from zero or one.

26. The method according to claim 25, wherein said compound has one or more features selected from the group consisting of:

- (a)  $R^1$  is an optionally substituted aryl or heteroaryl ring;
- (b)  $R^2$  and  $R^3$  are each independently selected from halogen, CN,  $CO_2R^6$ ,  $OR^6$ , or  $R^6$ ; and
- (c)  $R^9$  is halogen, CN, oxo,  $R^6$ ,  $SR^6$ ,  $OR^6$ ,  $N(R^6)_2$ ,  $CON(R^6)_2$ ,  $CO_2R^6$ ,  $CON(R)COR^6$ ,  $N(R)T_nCO_2R^6$ ,  $N(R)T_nNRCO_2R^6$ ,  $N(R)T_nN(R^6)_2$ ,  $NO_2$ ,  $T_n(\text{haloalkyl})$ ,  $CO_2N(R^6)_2$ ,  $COR^6$ ,  $SO_2R^6$ , or  $SO_2N(R^6)_2$ .

27. The method according to claim 26, wherein:

- (a)  $R^1$  is an optionally substituted aryl or heteroaryl ring;
- (b)  $R^2$  and  $R^3$  are each independently selected from halogen, CN,  $CO_2R^6$ ,  $OR^6$ , or  $R^6$ ; and
- (c)  $R^9$  is halogen, CN, oxo,  $R^6$ ,  $SR^6$ ,  $OR^6$ ,  $N(R^6)_2$ ,  $CON(R^6)_2$ ,  $CO_2R^6$ ,  $CON(R)COR^6$ ,  $N(R)T_nCO_2R^6$ ,  $N(R)T_nNRCO_2R^6$ ,  $N(R)T_nN(R^6)_2$ ,  $NO_2$ ,  $T_n(\text{haloalkyl})$ ,  $CO_2N(R^6)_2$ ,  $COR^6$ ,  $SO_2R^6$ , or  $SO_2N(R^6)_2$ .

28. The method according to claim 26, wherein said compound has one or more features selected from the group consisting of:

- (a)  $R^1$  is an optionally substituted ring selected from phenyl, 2-pyridyl, 3-pyridyl, 4-pyridyl, thienyl, pyrimidyl, imidazol-1-yl, imidazol-2-yl, pyrazol-1-yl, amino-pyrimidinyl, quinolinyl, aminobenzimidazole, or indolyl;
- (b)  $R^2$  is hydrogen, alkoxy, aminoalkyl, or halogen;

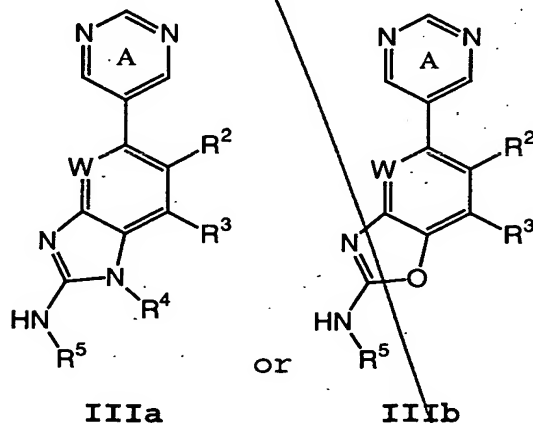
10015332-12101

- (c)  $R^3$  is hydrogen, alkoxy, aralkoxy, or halogen;
- (d)  $R^4$  is hydrogen or  $(CH_2)_yR^2$ ; and
- (e)  $R^9$  is halogen, CN, oxo,  $R^6$ ,  $SR^6$ ,  $OR^6$ ,  $N(R^6)_2$ ,  $CON(R^6)_2$ ,  $CO_2R^6$ ,  $CON(R)COR^6$ , or  $N(R)T_nCO_2R^6$ .

29. The method according to claim 28, wherein:

- (a)  $R^1$  is an optionally substituted ring selected from phenyl, 2-pyridyl, 3-pyridyl, 4-pyridyl, thienyl, pyrimidyl, imidazol-1-yl, imidazol-2-yl, pyrazol-1-yl, amino-pyrimidinyl, quinolinyl, aminobenzimidazole, or indolyl;
- (b)  $R^2$  is hydrogen, alkoxy, aminoalkyl, or halogen;
- (c)  $R^3$  is hydrogen, alkoxy, aralkoxy, or halogen;
- (d)  $R^4$  is hydrogen or  $(CH_2)_yR^2$ ; and
- (e)  $R^9$  is halogen, CN, oxo,  $R^6$ ,  $SR^6$ ,  $OR^6$ ,  $N(R^6)_2$ ,  $CON(R^6)_2$ ,  $CO_2R^6$ ,  $CON(R)COR^6$ , or  $N(R)T_nCO_2R^6$ .

30. The method according to claim 19, wherein said compound has the formula IIIa or IIIb:



or a pharmaceutically acceptable derivative or prodrug thereof, wherein:

W is nitrogen or  $CR^a$ ;

$R^a$  is selected from hydrogen, halogen,  $-CF_3$ ,  $R^7$ ,  $-OR^7$ , or  $-N(R^7)_2$ ;

Ring A is optionally substituted with up to three  $R^9$ ;  
wherein when an  $R^9$  substituent is in the ortho-position of Ring A, said  $R^9$  substituent may be taken together with  $R^2$  to form an optionally substituted 5-7 membered ring containing 0-2 ring heteroatoms selected from nitrogen, oxygen, or sulfur;

$R^2$  and  $R^3$  are each independently selected from  $R^6$ , halogen, CN,  $SR^6$ ,  $OR^6$ ,  $N(R^6)_2$ ,  $NRCO_2R^6$ ,  $NRCON(R^6)_2$ ,  $CON(R^6)_2$ ,  $NRCOR^6$ ,  $NRN(R^6)_2$ ,  $COR^6$ ,  $CO_2R^6$ ,  $COCOR^6$ ,  $SO_2R^6$ ,  $SO_2N(R^6)_2$ , or  $NRSO_2R^6$ ; or  $R^2$  and  $R^3$  are taken together to form a fused, unsaturated or partially unsaturated, optionally substituted 5-8 membered ring containing 0-2 ring heteroatoms selected from nitrogen, oxygen, or sulfur;

$R^4$  is selected from  $R^6$ ,  $CON(R^6)$ ,  $COR^6$ ,  $CO_2R^6$ ,  $COCOR^6$ ,  $SO_2R^6$ ,  $SO_2N(R^6)_2$ , or  $(CH_2)_yR^2$ ;

y is 1-6;

$R^5$  is selected from  $R^7$ , Ar, COAr,  $CON(R^7)Ar$ ,  $(CH_2)_yCO_2R$ ,  $(CH_2)_yN(R^7)_2$ ,  $C(=NR^{10})-N(R^7)_2$ ,  $C(=NR^{10})-NRCOR$ ,  $C(=S)-N(R^7)_2$ ,  $CON(R^7)_2$ , COR,  $SO_2R$ , or  $SO_2N(R^7)_2$ ;

Ar is a five membered heteroaryl, heterocyclyl, or carbocyclyl ring, wherein said ring is optionally substituted by up to three substituents selected from oxo, halogen, CN,  $NO_2$ ,  $R^8$ ,  $OR^8$ ,  $NHR^8$ ,  $NHCOR^8$ ,  $NHCONHR^8$ ,  $COR^8$ ,  $CONHR^8$ ,  $SO_2R^8$ ,  $NHSO_2NHR^8$  or  $SO_2NHR^8$ ;

each  $R^6$  is independently selected from  $R^7$  or an optionally substituted group selected from alkoxy, hydroxyalkyl, heterocyclyl, heterocyclcylalkyl, aryl, aralkyl, aralkoxy, aryloxyalkyl, heteroaryl, heteroaralkyl, heteroaralkoxy, or heteroarayloxyalkyl;

10015322.121001

each  $R^7$  is independently selected from hydrogen or an optionally substituted aliphatic group having one to six carbons, or two  $R^7$  on the same nitrogen taken together with the nitrogen optionally form a four to six member, saturated or unsaturated heterocyclic ring having one to three heteroatoms;

$R^8$  is a  $C_1$ - $C_4$  aliphatic group, wherein two  $R^8$  on adjacent positions of Ar, or an aryl or heteroaryl ring, may be taken together with their intervening atoms to form a three to six membered fused ring;

each  $R^9$  is independently selected from oxo, halogen, CN,  $NO_2$ ,  $T_n(\text{haloalkyl})$ ,  $R^6$ ,  $SR^6$ ,  $OR^6$ ,  $OR^8$ ,  $N(R^6)_2$ ,  $CON(R^6)_2$ ,  $CON(R)COR^6$ ,  $COR^6$ ,  $CO_2R^6$ ,  $CO_2N(R^6)_2$ ,  $COCOR^6$ ,  $SO_2R^6$ ,  $SO_2N(R^6)_2$ ,  $N(R)T_nCO_2R^6$ ,  $N(R)T_nCON(R^6)_2$ ,  $N(R)T_nN(R^6)_2$ ,  $N(R)T_nNRCO_2R^6$ ,  $N(R)T_nNRCON(R^6)_2$ ,  $N(R)T_nCOR^6$ ,  $N(R)T_nNRCOR^6$ ,  $N(R)T_nSO_2N(R^6)_2$ ,  $N(R)T_nSO_2R^6$ ,  $T_nPO(OR^7)_2$ ,  $T_nOPO(OR^7)_2$ ,  $T_nSP(OR^7)_2$ ,  $T_nPO(OR^7)_2$ , or  $T_nNPO(OR^7)_2$ ;

each Q is an independently selected  $C_1$ - $C_3$  branched or straight alkyl;

T is selected from  $-Q-$  or  $-Q_m-CH(Q_m-R^2)-$ ;

each m and n are independently selected from zero or one; and  $R^{10}$  is selected from  $R^7$  or Ar.

31. The method according to claim 30, wherein said compound has one or more features selected from the group consisting of:

- (a)  $R^2$  and  $R^3$  are each independently selected from halogen, CN,  $CO_2R^6$ ,  $OR^6$ , or  $R^6$ ;
- (b)  $R^5$  is  $CO_2R$ ,  $COAr$ ,  $COR$ ,  $CON(R^7)_2$ , Ar,  $(CH_2)_yCO_2R$ , or  $(CH_2)_yN(R^7)_2$ ; and
- (c)  $R^9$  is halogen, CN, oxo,  $R^6$ ,  $SR^6$ ,  $OR^6$ ,  $N(R^6)_2$ ,  $CON(R^6)_2$ ,  $CO_2R^6$ ,  $CON(R)COR^6$ ,  $N(R)T_nCO_2R^6$ ,

10015332 121201

$N(R)T_nNR\text{CO}_2R^6$ ,  $N(R)T_nN(R^6)_2$ ,  $\text{NO}_2$ ,  $T_n(\text{haloalkyl})$ ,  
 $\text{CO}_2N(R^6)_2$ ,  $\text{COR}^6$ ,  $\text{SO}_2R^6$ , or  $\text{SO}_2N(R^6)_2$ .

32. The method according to claim 31, wherein:

- (a)  $R^2$  and  $R^3$  are each independently selected from halogen, CN,  $\text{CO}_2R^6$ ,  $\text{OR}^6$ , or  $R^6$ ;
- (b)  $R^5$  is  $\text{CO}_2R$ ,  $\text{COAr}$ ,  $\text{COR}$ ,  $\text{CON}(R^7)_2$ ,  $\text{Ar}$ ,  $(\text{CH}_2)_y\text{CO}_2R$ , or  $(\text{CH}_2)_yN(R^7)_2$ ; and
- (c)  $R^9$  is halogen, CN, oxo,  $R^6$ ,  $\text{SR}^6$ ,  $\text{OR}^6$ ,  $N(R^6)_2$ ,  $\text{CON}(R^6)_2$ ,  $\text{CO}_2R^6$ ,  $\text{CON}(R)\text{COR}^6$ ,  $N(R)T_n\text{CO}_2R^6$ ,  $N(R)T_nNR\text{CO}_2R^6$ ,  $N(R)T_nN(R^6)_2$ ,  $\text{NO}_2$ ,  $T_n(\text{haloalkyl})$ ,  $\text{CO}_2N(R^6)_2$ ,  $\text{COR}^6$ ,  $\text{SO}_2R^6$ , or  $\text{SO}_2N(R^6)_2$ .

33. The method according to claim 31, wherein said compound has one or more features selected from the group consisting of:

- (a)  $R^2$  is hydrogen, alkoxy, aminoalkyl, or halogen;
- (b)  $R^3$  is hydrogen, alkoxy, aralkoxy, or halogen;
- (c)  $R^4$  is hydrogen or  $(\text{CH}_2)_yR^2$ ;
- (d)  $R^5$  is  $\text{CON}(R^7)_2$ ,  $\text{Ar}$ ,  $(\text{CH}_2)_y\text{CO}_2R$ , or  $(\text{CH}_2)_yN(R^7)_2$ ; and
- (e)  $R^9$  is halogen, CN, oxo,  $R^6$ ,  $\text{SR}^6$ ,  $\text{OR}^6$ ,  $N(R^6)_2$ ,  $\text{CON}(R^6)_2$ ,  $\text{CO}_2R^6$ ,  $\text{CON}(R)\text{COR}^6$ , or  $N(R)T_n\text{CO}_2R^6$ .

34. The method according to claim 33, wherein:

- (a)  $R^2$  is hydrogen, alkoxy, aminoalkyl, or halogen;
- (b)  $R^3$  is hydrogen, alkoxy, aralkoxy, or halogen;
- (c)  $R^4$  is hydrogen or  $(\text{CH}_2)_yR^2$ ;
- (d)  $R^5$  is  $\text{CON}(R^7)_2$ ,  $\text{Ar}$ ,  $(\text{CH}_2)_y\text{CO}_2R$ , or  $(\text{CH}_2)_yN(R^7)_2$ ; and
- (e)  $R^9$  is halogen, CN, oxo,  $R^6$ ,  $\text{SR}^6$ ,  $\text{OR}^6$ ,  $N(R^6)_2$ ,  $\text{CON}(R^6)_2$ ,  $\text{CO}_2R^6$ ,  $\text{CON}(R)\text{COR}^6$ , or  $N(R)T_n\text{CO}_2R^6$ .

10015332 121201

35. The method according to claim 19, wherein said compound is selected from those listed in either of Tables 1 and 2.

36. The method according to claim 19, wherein the disease in mammals is alleviated by administration of an inhibitor of gyrase.

37. The method according to claim 19, wherein the bacterial infection to be treated is characterized by the presence of one or more of the following: *Streptococcus pneumoniae*, *Streptococcus pyrogenes*, *Enterococcus faecalis*, *Enterococcus faecium*, *Klebsiella pneumoniae*, *Enterobacter* sps. *Proteus* sps. *Pseudomonas aeruginosa*, *E. coli*, *Serratia marcescens*, *S. aureus*, or Coag. Neg. Staph.

38. The method according to claim 19, wherein the bacterial infection to be treated is selected from one or more of the following: urinary tract infections, pneumonia, prostatitis, skin and soft tissue infections, intra-abdominal infections, or infections of febrile neutropenic patients.

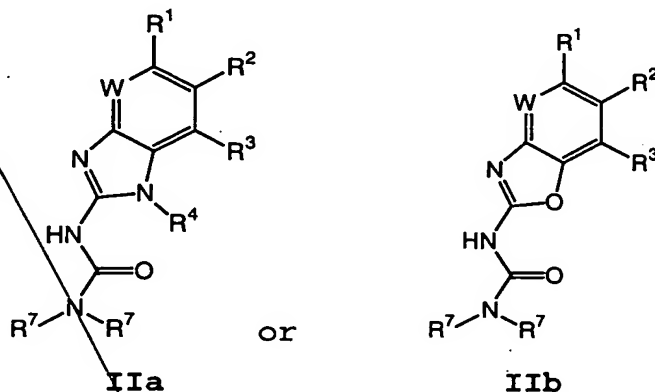
39. The method according to claim 19 further comprising the step of administering to said patient an additional therapeutic agent either as part of a multiple dosage form together with said compound or as a separate dosage form.

40. The method according to claim 19 further comprising the step of administering to said patient an agent that increases the susceptibility of bacterial organisms to antibiotics.

10015332.121201



41. A compound of formula IIa or IIb:



or a pharmaceutically acceptable derivative or prodrug thereof, wherein:

or a pharmaceutically acceptable derivative or prodrug thereof, wherein:

W is nitrogen or CR<sup>a</sup>;

R<sup>a</sup> is selected from hydrogen, halogen, -CF<sub>3</sub>, R<sup>7</sup>, -OR<sup>7</sup>, or -N(R<sup>7</sup>)<sub>2</sub>;

R<sup>1</sup> is an aryl or heteroaryl ring, wherein said ring is optionally substituted by up to four R<sup>9</sup>; wherein an R<sup>9</sup> substituent in the ortho-position of R<sup>1</sup> taken together with R<sup>2</sup> may form a fused, unsaturated or partially unsaturated, optionally substituted 5-8 membered ring having 0-2 ring heteroatoms selected from nitrogen, oxygen, or sulfur;

R<sup>2</sup> and R<sup>3</sup> are each independently selected from R<sup>6</sup>, halogen, CN, SR<sup>6</sup>, OR<sup>6</sup>, N(R<sup>6</sup>)<sub>2</sub>, NRCO<sub>2</sub>R<sup>6</sup>, NRCON(R<sup>6</sup>)<sub>2</sub>, CON(R<sup>6</sup>)<sub>2</sub>, NRCOR<sup>6</sup>, NRN(R<sup>6</sup>)<sub>2</sub>, COR<sup>6</sup>, CO<sub>2</sub>R<sup>6</sup>, COCOR<sup>6</sup>, SO<sub>2</sub>R<sup>6</sup>, SO<sub>2</sub>N(R<sup>6</sup>)<sub>2</sub>, or NRSO<sub>2</sub>R<sup>6</sup>; or R<sup>2</sup> and R<sup>3</sup> are taken together to form a fused, unsaturated or partially unsaturated, optionally substituted 5-8 membered ring containing 0-2 ring heteroatoms selected from nitrogen, oxygen, or sulfur;

R<sup>4</sup> is selected from R<sup>6</sup>, CON(R<sup>6</sup>), COR<sup>6</sup>, CO<sub>2</sub>R<sup>6</sup>, COCOR<sup>6</sup>, SO<sub>2</sub>R<sup>6</sup>, SO<sub>2</sub>N(R<sup>6</sup>)<sub>2</sub>, or (CH<sub>2</sub>)<sub>y</sub>R<sup>2</sup>;

10015332, 121201

Sub  
A1

y is 1-6;

Ar is a five membered heteroaryl, heterocyclyl, or carbocyclyl ring, wherein said ring is optionally substituted by up to three substituents selected from oxo, halogen, CN, NO<sub>2</sub>, R<sup>8</sup>, OR<sup>8</sup>, NHR<sup>8</sup>, NHCOR<sup>8</sup>, NHCONHR<sup>8</sup>, COR<sup>8</sup>, CONHR<sup>8</sup>, SO<sub>2</sub>R<sup>8</sup>, NHSO<sub>2</sub>NHR<sup>8</sup> or SO<sub>2</sub>NHR<sup>8</sup>;

each R<sup>6</sup> is independently selected from R<sup>7</sup> or an optionally substituted group selected from alkoxy, hydroxyalkyl, heterocyclyl, heterocyclcylalkyl, aryl, aralkyl, aralkoxy, aryloxyalkyl, heteroaryl, heteroaralkyl, heteroaralkoxy, or heteroarayloxyalkyl;

each R<sup>7</sup> is independently selected from hydrogen or an optionally substituted aliphatic group having one to six carbons, or two R<sup>7</sup> on the same nitrogen taken together with the nitrogen optionally form a four to six member, saturated or unsaturated heterocyclic ring having one to three heteroatoms;

R<sup>8</sup> is a C<sub>1</sub>-C<sub>4</sub> aliphatic group, wherein two R<sup>8</sup> on adjacent positions of Ar, or an aryl or heteroaryl ring, may be taken together with their intervening atoms to form a three to six membered fused ring;

each R<sup>9</sup> is independently selected from oxo, halogen, CN, NO<sub>2</sub>, T<sub>n</sub>(haloalkyl), R<sup>6</sup>, SR<sup>6</sup>, OR<sup>6</sup>, OR<sup>8</sup>, N(R<sup>6</sup>)<sub>2</sub>, CON(R<sup>6</sup>)<sub>2</sub>, CON(R)COR<sup>6</sup>, COR<sup>6</sup>, CO<sub>2</sub>R<sup>6</sup>, CO<sub>2</sub>N(R<sup>6</sup>)<sub>2</sub>, COCOR<sup>6</sup>, SO<sub>2</sub>R<sup>6</sup>, SO<sub>2</sub>N(R<sup>6</sup>)<sub>2</sub>, N(R)T<sub>n</sub>CO<sub>2</sub>R<sup>6</sup>, N(R)T<sub>n</sub>CON(R<sup>6</sup>)<sub>2</sub>, N(R)T<sub>n</sub>N(R<sup>6</sup>)<sub>2</sub>, N(R)T<sub>n</sub>NRCO<sub>2</sub>R<sup>6</sup>, N(R)T<sub>n</sub>NRCON(R<sup>6</sup>)<sub>2</sub>, N(R)T<sub>n</sub>COR<sup>6</sup>, N(R)T<sub>n</sub>NRCOR<sup>6</sup>, N(R)T<sub>n</sub>SO<sub>2</sub>N(R<sup>6</sup>)<sub>2</sub>, N(R)T<sub>n</sub>SO<sub>2</sub>R<sup>6</sup>, T<sub>n</sub>PO(OR<sup>7</sup>)<sub>2</sub>, T<sub>n</sub>OPO(OR<sup>7</sup>)<sub>2</sub>, T<sub>n</sub>SP(OR<sup>7</sup>)<sub>2</sub>, T<sub>n</sub>PO(OR<sup>7</sup>)<sub>2</sub>, or T<sub>n</sub>NPO(OR<sup>7</sup>)<sub>2</sub>;

each Q is an independently selected C<sub>1</sub>-C<sub>3</sub> branched or straight alkyl;

T is selected from -Q- or -Q<sub>m</sub>-CH(Q<sub>m</sub>-R<sup>2</sup>)-; and

each m and n are independently selected from zero or one.

10015332.121201

Sub  
A1

42. The compound according to claim 41, wherein said compound has one or more features selected from the group consisting of:

- (a)  $R^1$  is an optionally substituted aryl or heteroaryl ring;
- (b)  $R^2$  and  $R^3$  are each independently selected from halogen, CN,  $\text{CO}_2R^6$ ,  $\text{OR}^6$ , or  $R^6$ ; and
- (c)  $R^9$  is halogen, CN, oxo,  $R^6$ ,  $\text{SR}^6$ ,  $\text{OR}^6$ ,  $\text{N}(R^6)_2$ ,  $\text{CON}(R^6)_2$ ,  $\text{CO}_2R^6$ ,  $\text{CON}(R)\text{COR}^6$ ,  $\text{N}(R)\text{T}_n\text{CO}_2R^6$ ,  $\text{N}(R)\text{T}_n\text{NRCO}_2R^6$ ,  $\text{N}(R)\text{T}_n\text{N}(R^6)_2$ ,  $\text{NO}_2$ ,  $\text{T}_n(\text{haloalkyl})$ ,  $\text{CO}_2\text{N}(R^6)_2$ ,  $\text{COR}^6$ ,  $\text{SO}_2R^6$ , or  $\text{SO}_2\text{N}(R^6)_2$ .

43. The compound according to claim 42, wherein:

- (a)  $R^1$  is an optionally substituted aryl or heteroaryl ring;
- (b)  $R^2$  and  $R^3$  are each independently selected from halogen, CN,  $\text{CO}_2R^6$ ,  $\text{OR}^6$ , or  $R^6$ ; and
- (c)  $R^9$  is halogen, CN, oxo,  $R^6$ ,  $\text{SR}^6$ ,  $\text{OR}^6$ ,  $\text{N}(R^6)_2$ ,  $\text{CON}(R^6)_2$ ,  $\text{CO}_2R^6$ ,  $\text{CON}(R)\text{COR}^6$ ,  $\text{N}(R)\text{T}_n\text{CO}_2R^6$ ,  $\text{N}(R)\text{T}_n\text{NRCO}_2R^6$ ,  $\text{N}(R)\text{T}_n\text{N}(R^6)_2$ ,  $\text{NO}_2$ ,  $\text{T}_n(\text{haloalkyl})$ ,  $\text{CO}_2\text{N}(R^6)_2$ ,  $\text{COR}^6$ ,  $\text{SO}_2R^6$ , or  $\text{SO}_2\text{N}(R^6)_2$ .

44. The compound according to claim 42, wherein said compound has one or more features selected from the group consisting of:

- (a)  $R^1$  is an optionally substituted ring selected from phenyl, 2-pyridyl, 3-pyridyl, 4-pyridyl, thienyl, pyrimidyl, imidazol-1-yl, imidazol-2-yl, pyrazol-1-yl, amino-pyrimidinyl, quinolinyl, aminobenzimidazole, or indolyl;
- (b)  $R^2$  is hydrogen, alkoxy, aminoalkyl, or halogen;
- (c)  $R^3$  is hydrogen, alkoxy, aralkoxy, or halogen;
- (d)  $R^4$  is hydrogen or  $(\text{CH}_2)_yR^2$ ; and

10015332 121201

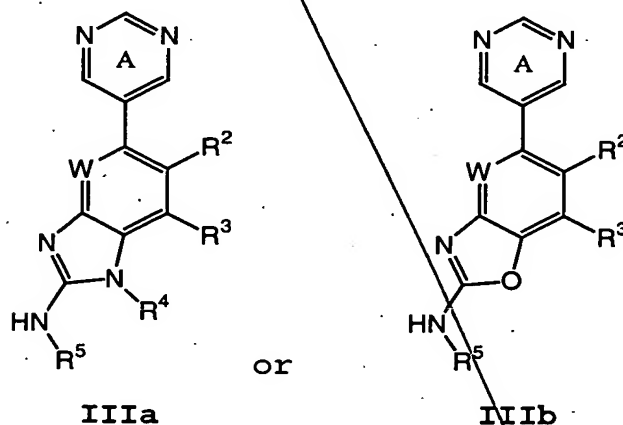
Sub  
A1

(e)  $R^9$  is halogen, CN, oxo,  $R^6$ ,  $SR^6$ ,  $OR^6$ ,  $N(R^6)_2$ ,  $CON(R^6)_2$ ,  $CO_2R^6$ ,  $CON(R)COR^6$ , or  $N(R)T_nCO_2R^6$ .

45. The compound according to claim 44, wherein:

- (a)  $R^1$  is an optionally substituted ring selected from phenyl, 2-pyridyl, 3-pyridyl, 4-pyridyl, thienyl, pyrimidyl, imidazol-1-yl, imidazol-2-yl, pyrazol-1-yl, amino-pyrimidinyl, quinolinyl, aminobenzimidazole, or indolyl;
- (b)  $R^2$  is hydrogen, alkoxy, aminoalkyl, or halogen;
- (c)  $R^3$  is hydrogen, alkoxy, aralkoxy, or halogen;
- (d)  $R^4$  is hydrogen or  $(CH_2)_yR^2$ ; and
- (e)  $R^9$  is halogen, CN, oxo,  $R^6$ ,  $SR^6$ ,  $OR^6$ ,  $N(R^6)_2$ ,  $CON(R^6)_2$ ,  $CO_2R^6$ ,  $CON(R)COR^6$ , or  $N(R)T_nCO_2R^6$ .

46. A compound of formula IIIa or IIIb:



or a pharmaceutically acceptable derivative or prodrug thereof, wherein:

W is nitrogen or  $CR^a$ ;

$R^a$  is selected from hydrogen, halogen,  $-CF_3$ ,  $R^7$ ,  $-OR^7$ , or  $-N(R^7)_2$ ;

10015332 121201

Sub  
A1

Ring A is optionally substituted with up to three  $R^9$ ;  
wherein when an  $R^9$  substituent is in the ortho-position  
of Ring A, said  $R^9$  substituent may be taken together  
with  $R^2$  to form an optionally substituted 5-7 membered  
ring containing 0-2 ring heteroatoms selected from  
nitrogen, oxygen, or sulfur;

$R^2$  and  $R^3$  are each independently selected from  $R^6$ ,  
halogen, CN,  $SR^6$ ,  $OR^6$ ,  $N(R^6)_2$ ,  $NRCO_2R^6$ ,  $NRCON(R^6)_2$ ,  
 $CON(R^6)_2$ ,  $NRCOR^6$ ,  $NRN(R^6)_2$ ,  $COR^6$ ,  $CO_2R^6$ ,  $COCOR^6$ ,  $SO_2R^6$ ,  
 $SO_2N(R^6)_2$ , or  $NRSO_2R^6$ ; or  $R^2$  and  $R^3$  are taken together to  
form a fused, unsaturated or partially unsaturated,  
optionally substituted 5-8 membered ring containing 0-2  
ring heteroatoms selected from nitrogen, oxygen, or  
sulfur;

$R^4$  is selected from  $R^6$ ,  $CON(R^6)$ ,  $COR^6$ ,  $CO_2R^6$ ,  $COCOR^6$ ,  $SO_2R^6$ ,  
 $SO_2N(R^6)_2$ , or  $(CH_2)_yR^2$ ;

y is 1-6;

$R^5$  is selected from  $R^7$ , Ar,  $COAr$ ,  $CON(R^7)Ar$ ,  $(CH_2)_yCO_2R$ ,  
 $(CH_2)_yN(R^7)_2$ ,  $C(=NR^{10})-N(R^7)_2$ ,  $C(=NR^{10})-NRCOR$ ,  
 $C(=S)-N(R^7)_2$ ,  $CON(R^7)_2$ ,  $COR$ ,  $SO_2R$ , or  $SO_2N(R^7)_2$ ;

Ar is a five membered heteroaryl, heterocyclyl, or  
carbocyclyl ring, wherein said ring is optionally  
substituted by up to three substituents selected from  
oxo, halogen, CN,  $NO_2$ ,  $R^8$ ,  $OR^8$ ,  $NHR^8$ ,  $NHCOR^8$ ,  $NHCONHR^8$ ,  
 $COR^8$ ,  $CONHR^8$ ,  $SO_2R^8$ ,  $NHSO_2NHR^8$  or  $SO_2NHR^8$ ;

each  $R^6$  is independently selected from  $R^7$  or an optionally  
substituted group selected from alkoxy, hydroxyalkyl,  
heterocyclyl, heterocyclcylalkyl, aryl, aralkyl,  
aralkoxy, aryloxyalkyl, heteroaryl, heteroaralkyl,  
heteroaralkoxy, or heteroarayloxyalkyl;

each  $R^7$  is independently selected from hydrogen or an  
optionally substituted aliphatic group having one to  
six carbons, or two  $R^7$  on the same nitrogen taken

Sub  
A1

10015332.121201

together with the nitrogen optionally form a four to six member, saturated or unsaturated heterocyclic ring having one to three heteroatoms;

$R^8$  is a  $C_1$ - $C_4$  aliphatic group, wherein two  $R^8$  on adjacent positions of Ar, or an aryl or heteroaryl ring, may be taken together with their intervening atoms to form a three to six membered fused ring;

each  $R^9$  is independently selected from oxo, halogen, CN,  $NO_2$ ,  $T_n(\text{haloalkyl})$ ,  $R^6$ ,  $SR^6$ ,  $OR^6$ ,  $OR^8$ ,  $N(R^6)_2$ ,  $CON(R^6)_2$ ,  $CON(R)COR^6$ ,  $COR^6$ ,  $CO_2R^6$ ,  $CO_2N(R^6)_2$ ,  $COCOR^6$ ,  $SO_2R^6$ ,  $SO_2N(R^6)_2$ ,  $N(R)T_nCO_2R^6$ ,  $N(R)T_nCON(R^6)_2$ ,  $N(R)T_nN(R^6)_2$ ,  $N(R)T_nNRCO_2R^6$ ,  $N(R)T_nNRCON(R^6)_2$ ,  $N(R)T_nCOR^6$ ,  $N(R)T_nNRCOR^6$ ,  $N(R)T_nSO_2N(R^6)_2$ ,  $N(R)T_nSO_2R^6$ ,  $T_nPO(OR^7)_2$ ,  $T_nOPO(OR^7)_2$ ,  $T_nSP(OR^7)_2$ ,  $T_nPO(OR^7)_2$ , or  $T_nNPO(OR^7)_2$ ;

each Q is an independently selected  $C_1$ - $C_3$  branched or straight alkyl;

T is selected from  $-Q-$  or  $-Q_m-CH(Q_m-R^2)-$ ;

each m and n are independently selected from zero or one; and  $R^{10}$  is selected from  $R^7$  or Ar.

47. The compound according to claim 46, wherein said compound has one or more features selected from the group consisting of:

- (a)  $R^2$  and  $R^3$  are each independently selected from halogen, CN,  $CO_2R^6$ ,  $OR^6$ , or  $R^6$ ;
- (b)  $R^5$  is  $CO_2R$ ,  $COAr$ ,  $COR$ ,  $CON(R^7)_2$ , Ar,  $(CH_2)_yCO_2R$ , or  $(CH_2)_yN(R^7)_2$ ; and
- (c)  $R^9$  is halogen, CN, oxo,  $R^6$ ,  $SR^6$ ,  $OR^6$ ,  $N(R^6)_2$ ,  $CON(R^6)_2$ ,  $CO_2R^6$ ,  $CON(R)COR^6$ ,  $N(R)T_nCO_2R^6$ ,  $N(R)T_nNRCO_2R^6$ ,  $N(R)T_nN(R^6)_2$ ,  $NO_2$ ,  $T_n(\text{haloalkyl})$ ,  $CO_2N(R^6)_2$ ,  $COR^6$ ,  $SO_2R^6$ , or  $SO_2N(R^6)_2$ .

48. The compound according to claim 47, wherein:

Sub  
A1  
40015332-121201  
TOTAL 235001

- (a)  $R^2$  and  $R^3$  are each independently selected from halogen, CN,  $\text{CO}_2R^6$ ,  $\text{OR}^6$ , or  $R^6$ ;
- (b)  $R^5$  is  $\text{CO}_2R$ ,  $\text{COAr}$ ,  $\text{COR}$ ,  $\text{CON}(R^7)_2$ ,  $\text{Ar}$ ,  $(\text{CH}_2)_y\text{CO}_2R$ , or  $(\text{CH}_2)_y\text{N}(R^7)_2$ ; and
- (c)  $R^9$  is halogen, CN, oxo,  $R^6$ ,  $\text{SR}^6$ ,  $\text{OR}^6$ ,  $\text{N}(R^6)_2$ ,  $\text{CON}(R^6)_2$ ,  $\text{CO}_2R^6$ ,  $\text{CON}(R)\text{COR}^6$ ,  $\text{N}(R)\text{T}_n\text{CO}_2R^6$ ,  $\text{N}(R)\text{T}_n\text{NR}\text{CO}_2R^6$ ,  $\text{N}(R)\text{T}_n\text{N}(R^6)_2$ ,  $\text{NO}_2$ ,  $\text{T}_n(\text{haloalkyl})$ ,  $\text{CO}_2\text{N}(R^6)_2$ ,  $\text{COR}^6$ ,  $\text{SO}_2R^6$ , or  $\text{SO}_2\text{N}(R^6)_2$ .

49. The compound according to claim 47, wherein said compound has one or more features selected from the group consisting of:

- (a)  $R^2$  is hydrogen, alkoxy, aminoalkyl, or halogen;
- (b)  $R^3$  is hydrogen, alkoxy, aralkoxy, or halogen;
- (c)  $R^4$  is hydrogen or  $(\text{CH}_2)_yR^2$ ;
- (d)  $R^5$  is  $\text{CON}(R^7)_2$ ,  $\text{Ar}$ ,  $(\text{CH}_2)_y\text{CO}_2R$ , or  $(\text{CH}_2)_y\text{N}(R^7)_2$ ; and
- (e)  $R^9$  is halogen, CN, oxo,  $R^6$ ,  $\text{SR}^6$ ,  $\text{OR}^6$ ,  $\text{N}(R^6)_2$ ,  $\text{CON}(R^6)_2$ ,  $\text{CO}_2R^6$ ,  $\text{CON}(R)\text{COR}^6$ , or  $\text{N}(R)\text{T}_n\text{CO}_2R^6$ .

50. The compound according to claim 49, wherein:

- (a)  $R^2$  is hydrogen, alkoxy, aminoalkyl, or halogen;
- (b)  $R^3$  is hydrogen, alkoxy, aralkoxy, or halogen;
- (c)  $R^4$  is hydrogen or  $(\text{CH}_2)_yR^2$ ;
- (d)  $R^5$  is  $\text{CON}(R^7)_2$ ,  $\text{Ar}$ ,  $(\text{CH}_2)_y\text{CO}_2R$ , or  $(\text{CH}_2)_y\text{N}(R^7)_2$ ; and
- (e)  $R^9$  is halogen, CN, oxo,  $R^6$ ,  $\text{SR}^6$ ,  $\text{OR}^6$ ,  $\text{N}(R^6)_2$ ,  $\text{CON}(R^6)_2$ ,  $\text{CO}_2R^6$ ,  $\text{CON}(R)\text{COR}^6$ , or  $\text{N}(R)\text{T}_n\text{CO}_2R^6$ .

51. A composition comprising a compound according to any one of claims 41 to 50; and a pharmaceutically acceptable carrier.

10015332.121201

Sub  
A1

52. The composition according to claim 51, wherein said compound is formulated in a pharmaceutically acceptable manner for administration to a patient.

53. The composition according to claim 51 further comprising an additional therapeutic agent.

54. The composition according to claim 52 further comprising an additional therapeutic agent.

55. The composition according to claim 51 further comprising an agent that increases the susceptibility of bacterial organisms to antibiotics.

56. The composition according to claim 53 further comprising an agent that increases the susceptibility of bacterial organisms to antibiotics.

10015332.121201

Sub  
A1